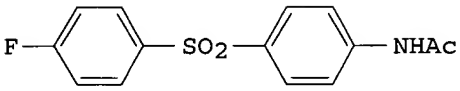
ACCESSION NUMBER: **1987:310** HCAPLUS
DOCUMENT NUMBER: 106:310
TITLE: Immunoprotective and immunorestorative effects of a new immunomodulator, CL 259,763
AUTHOR(S): Durr, F. E.; Wallace, R. E.; Ruszala-Mallon, V.; Wang, B. S.
CORPORATE SOURCE: Med. Res. Div., American Cyanamid Co., Pearl River, NY, USA
SOURCE: Recent Adv. Chemother., Proc. Int. Congr. Chemother., 14th (1985), Volume Anticancer Sect. 2, 922-3. Editor(s): Ishigami, Joji. Univ. Tokyo Press: Tokyo, Japan.
CODEN: 55GNAX
DOCUMENT TYPE: Conference
LANGUAGE: English
GI

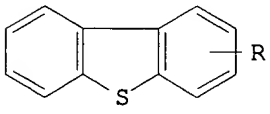


I

AB CL 259763 (I) [734-22-5] is an orally active compd. that affects the humoral and cellular compartments of the immune system in both normal and tumor-bearing mice. I potentiates the antibody response to sheep erythrocytes in normal mice, restores the antibody response in immunosuppressed leukemic mice, and protects the humoral response from suppression by cytotoxic drugs. I also protects or accelerates the recovery of bone marrow following myelosuppression by cytotoxic drugs, an effect possibly mediated by colony-stimulating factor [62683-29-8], which is induced by the compd.

IT Neoplasm inhibitors
(myelosuppression from, CL 259763 protection against)
IT Immunosuppression
(treatment of, with CL 259763)
IT Immunostimulants
(adjuvants, CL 259763 as, cytotoxic drug-induced myelosuppression prevention by)
IT Hematopoiesis
(myelopoiesis, suppression of, by cytotoxic agents, CL 259763 protection from)
IT 734-22-5, CL 259763
RL: BIOL (Biological study)
(immunomodulation by, cytotoxic drug-induced myelosuppression response in relation to)
IT 62683-29-8
RL: BIOL (Biological study)
(in CL 259763 immunomodulation effects)
IT 65271-80-9, Mitoxantrone
RL: BIOL (Biological study)
(myelosuppression from, [[(fluorophenyl)sulfonyl]phenyl]acetamide protection from)

WER 1 OF 3 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:254663 HCAPLUS
DOCUMENT NUMBER: 118:254663
TITLE: Sulfur compounds from petroleum. XVI. Dibenzothiophenes with linear C1-C5 alkyl side chains
AUTHOR(S): Boberg, Friedrich; Bruns, Wolfgang; Musshoff, Dagmar
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Clausthal, Clausthal-Zellerfeld, D-3392, Germany
SOURCE: Phosphorus, Sulfur Silicon Relat. Elem. (1992), 72(1-4), 13-31
CODEN: PSSLEC; ISSN: 1042-6507
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 118:254663
GI



I

AB The prepn. of all position isomers of dibenzothiophenes I [ e.g., R = Me, Et, Pr, Bu, C(O)R] with a linear C1-C5-sidechain and of the corresponding 5,5-dioxides is described. E.g., Friedel-Crafts acylation of I (R = H) with RCOCl (e.g., R = Bu) in dry CS2 and AlCl3 gave I [R = 2-C(O)Bu] (II) in 48% yield and I [R = 4-C(O)Bu] in 15% yield. II underwent Huang-Minlon redn. by N2H2/KOH to give I (R = 2-Bu). 1H NMR data and GC-purities are given.

IT **127330-24-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Huang-Minlon redn. of, with hydrazine)

IT Friedel-Crafts reaction
(of dibenzothiophenes)

IT Reduction
(Huang-Minlon, of acyldibenzothiophene, alkyldibenzothiophenes from)

IT 75-36-5, Acetyl chloride 79-03-8, Propanoyl chloride 141-75-3, Butanoyl chloride 638-29-9, Pentanoyl chloride
RL: RCT (Reactant)
(Friedel-Crafts acylation by, of dibenzothiophene deriv.)

IT 132-65-0, Dibenzothiophene 16587-33-0
RL: RCT (Reactant)
(Friedel-Crafts acylation of)

IT 22439-58-3P **127330-24-9P** 147792-12-9P 147792-13-0P 147792-14-1P 147792-15-2P 147792-20-9P 147792-21-0P 147792-22-1P 147792-23-2P 147792-24-3P 147792-25-4P 147792-26-5P 147792-27-6P 147792-28-7P 147792-29-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Huang-Minlon redn. of, with hydrazine)

IT 31317-07-4P 89816-97-7P 147792-09-4P 147792-10-7P 147792-11-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and oxidn. of, dibenzothiophene dioxide deriv. from)

IT 7372-88-5P 16587-52-3P 20928-02-3P 89816-98-8P 89816-99-9P 89817-03-8P 97193-85-6P 132034-86-7P 147792-30-1P 147792-31-2P 147792-32-3P 147792-33-4P 147792-34-5P 147792-45-8P 147792-46-9P 147792-47-0P 147792-52-7P 147792-53-8P 147792-54-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peroxide-oxidn. of, dibenzothiophene dioxide deriv. from)

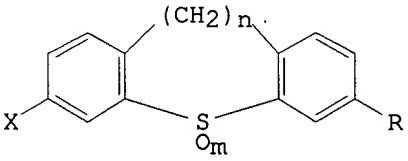
IT 34724-69-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and redn. of)
IT 20928-03-4P 23657-53-6P 113222-81-4P 113222-82-5P 147792-16-3P
147792-17-4P 147792-18-5P 147792-19-6P 147792-35-6P 147792-36-7P
147792-37-8P 147792-38-9P 147792-39-0P 147792-40-3P 147792-41-4P
147792-42-5P 147792-43-6P 147792-44-7P 147792-48-1P 147792-49-2P
147792-50-5P 147792-51-6P 147792-58-3P 147792-59-4P 147792-60-7P
147792-61-8P 147792-62-9P 147792-63-0P 147792-64-1P 147792-65-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
IT 96749-91-6P 147792-55-0P 147792-56-1P 147792-57-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., peroxide-oxidn. and o-chloranil-dehydrogenation of, alkyldibenzothiophene from)
IT 34724-68-0, 1-Dibenzothiophenecarboxylic acid
RL: RCT (Reactant)
(reductive alkylation of)
IT 22439-61-8 97511-04-1
RL: RCT (Reactant)
(sequential lithiation and reaction of, with dialkyl sulfate)

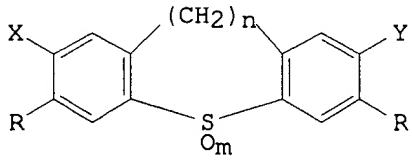
L6 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:515068 HCAPLUS
DOCUMENT NUMBER: 113:115068
TITLE: Preparation of dibenzothiophenes as hematocyte regeneration stimulants
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 02017184 | A2 | 19900122 | JP 1989-124639 | 19890519 |
| US 4965284 | A | 19901023 | US 1989-341862 | 19890425 |

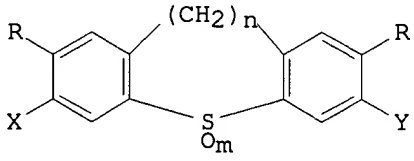
PRIORITY APPLN. INFO.: US 1988-196166 19880519
US 1989-341862 19890425
OTHER SOURCE(S): MARPAT 113:115068
GI



I



II



III

AB The title compds. I, II, and III [Y, X = H, F, Cl, Br; n = 0 or 1; m = 0-2; R = N:CR1NR2R3, NR5COR4, etc.; R1 = alkyl, cycloalkyl, (substituted) Ph, pyridine, etc.; R2 = H, alkyl, PhCH2; R3 = alkyl, cycloalkyl; R4 = alkyl, (substituted) Ph, CH2COMe, CH2NMe2; R5 = H, alkyl; R1R2 may form

(CH2)q; q = 2-5; or NR2R3 = pyrrolidino, morpholino, thiomorpholino, 4-methylpiperidino, etc.], were prepd. A mixt. of 7-fluoro-3-dibenzothiopheneamine S,S-dioxide and Ac2O in pyridine was set aside for 1.5 h to give N-(7-fluoro-3-dibenzothienyl)acetamide S S-dioxide (IV). IV at 100 mg/kg increased the generation of interleukin-2 in mice by 30%.

IT **127330-50-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of drug)

IT **127330-19-2P 127330-20-5P 127330-21-6P**
**127330-40-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of hematocyte regeneration stimulant)

IT **127330-36-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT **127330-22-7P 127330-23-8P 127330-42-1P**
**127330-43-2P 127330-45-4P 127330-46-5P**
**127330-48-7P 127330-66-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as hematocyte regeneration stimulant)

IT **127330-50-1**
RL: RCT (Reactant)
(reaction of, in prepn. of hematocyte regeneration stimulant)

IT Immunostimulants
(dibenzothiophenes)

IT Leukocyte
(precursors of, dibenzothrophenes effect on)

IT 1199-51-5P 14313-93-0P **127330-50-1P** 128142-08-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of drug)

IT 1696-17-9P, N,n-Diethylbenzamide 6259-19-4P 22439-58-3P 35105-75-0P
35105-81-8P 50863-19-9P 51762-59-5P 93618-98-5P 95200-70-7P
**127330-19-2P 127330-20-5P 127330-21-6P**
**127330-40-9P** 128142-04-1P 128142-05-2P 128142-06-3P
128169-36-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of hematocyte regeneration stimulant)

IT **127330-36-3P** 128141-91-3P 128141-92-4P 128141-93-5P
128141-94-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT 54635-78-8P **127330-22-7P 127330-23-8P**
**127330-42-1P 127330-43-2P 127330-45-4P**
**127330-46-5P 127330-48-7P 127330-66-9P**
128141-87-7P 128141-88-8P 128141-89-9P 128141-90-2P 128141-95-7P
128141-96-8P 128141-97-9P 128141-98-0P 128141-99-1P 128142-00-7P
128142-01-8P 128142-02-9P 128169-34-6P 128169-35-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as hematocyte regeneration stimulant)

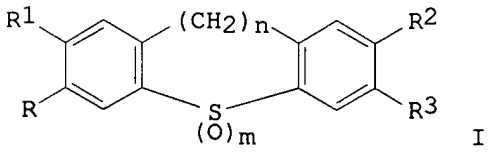
IT 79-16-3 80-73-9 127-19-5 132-65-0, Dibenzothiophene 134-62-3, N,N-Diethyl-m-toluamide 283-24-9, 3-Azabicyclo[3,2,2]nonane 503-29-7, Azetidine 685-91-6, N,N-Diethylacetamide 758-96-3, N,N-Dimethylpropionamide 931-20-4 1016-05-3, Dibenzothiophenesulfone 1114-51-8, N,N-Diethylpropionamide 1119-49-9 2403-22-7, N-Benzylbutylamine 5006-22-4, Cyclobutylcarbonyl chloride 5271-67-0, 2-Thiophenecarbonyl chloride 6259-19-4 6837-24-7, 1-Cyclohexyl-2-pyrrolidinone 7428-91-3, 2-Aminodibenzothiophene 10215-25-5 14313-93-0 23863-19-6 35105-75-0, 2,8-Diacetyldibenzothiophene 39098-97-0, 2-Thiopheneacetyl chloride 41738-64-1, 3,7-Dibenzothiophenediamine 50863-19-9 58920-49-3, 3,7-

Dinitrobenzothiophene S,S-dioxide 78769-83-2, 2,8-Dibenzothiophenediamine 95200-70-7 **127330-50-1** 128142-03-0 128142-09-6, 9H-Thioxanthene-3,6-diamine
RL: RCT (Reactant)
(reaction of, in prepn. of hematocyte regeneration stimulant)

L6 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1990:235168 HCAPLUS
DOCUMENT NUMBER: 112:235168
TITLE: Preparation of substituted dibenzothiophenes as immunomodulators and antitumor agents
INVENTOR(S): Nair, Vijay Gopalan; Conrow, Ransom Brown; Wang, Bosco Shang; Ruszala-Mallon, Veronica M.
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 342433 | A2 | 19891123 | EP 1989-107997 | 19890503 |
| EP 342433 | A3 | 19910619 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| DK 8902417 | A | 19891120 | DK 1989-2417 | 19890518 |
| NO 8901985 | A | 19891120 | NO 1989-1985 | 19890518 |
| FI 8902398 | A | 19891120 | FI 1989-2398 | 19890518 |
| AU 8934911 | A1 | 19891207 | AU 1989-34911 | 19890518 |
| ZA 8903738 | A | 19900131 | ZA 1989-3738 | 19890518 |
| DD 283819 | A5 | 19901024 | DD 1989-328702 | 19890518 |

PRIORITY APPLN. INFO.: US 1988-196166 19880519
OTHER SOURCE(S): MARPAT 112:235168
GI



I

AB Title compds. I [R-R3 = H, Br, Cl, F, EtOCH:N, substituted aminomethyleneamino, substituted carbamoyl, [(1,3-dimethyl-2-imidazolidinylidene)amino]; m = 0-2; n = 0, 1] and their pharmaceutically acceptable salts, were prepd. Significant activity of I in each aspect was examd. for their immunomodulatory activity (assay for macrophage-mediated tumor cystostasis, prodn. of interleukins, anti-sheep red blood cell antibody assay, colony-forming factor prodn. and assay to measure acceleration of myeloid cell recovery following 5-fluorouracil therapy). I (R = F, R1 = R2 = H, R3 = MeCONH) showed significant activity in all the above assays.

IT **127330-18-1P 127330-19-2P 127330-20-5P**
**127330-21-6P 127330-24-9P 127330-25-0P**
**127330-26-1P 127330-27-2P 127330-29-4P**
**127330-31-8P 127330-34-1P 127330-41-0P**
**127330-50-1P 127343-46-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antitumor and immunostimulant agents)

IT **127330-16-9P 127330-22-7P 127330-23-8P**
**127330-28-3P 127330-30-7P 127330-32-9P**
**127330-33-0P 127330-35-2P 127330-36-3P**
**127330-37-4P 127330-38-5P 127330-39-6P**
**127330-40-9P 127330-42-1P 127330-43-2P**
**127330-44-3P 127330-45-4P 127330-46-5P**
**127330-47-6P 127330-48-7P 127330-49-8P**
**127330-51-2P 127330-52-3P 127330-53-4P**
**127330-54-5P 127330-55-6P 127330-56-7P**
**127330-57-8P 127330-58-9P 127330-59-0P**
**127330-60-3P 127330-61-4P 127330-62-5P**
**127330-63-6P 127330-64-7P 127330-65-8P**
**127343-47-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antitumor and immunostimulant)

IT **127330-66-9**
RL: RCT (Reactant)
(reaction of, in prepn. of antitumor and immunostimulant agents)

IT Immunostimulants
Neoplasm inhibitors
(substituted dibenzothiophenes)

IT 132-65-0, Dibenzothiophene 180-44-9, 3-Azaspiro[5.5]undecane 283-24-9, 3-Azabicyclo[3.2.2]nonane 503-29-7, Azetidine
RL: RCT (Reactant)
(acetylation of)

IT 123-90-0, Thiomorpholine
RL: RCT (Reactant)
(amidation by, of cyclobutanecarbonyl chloride)

IT 2403-22-7, N-Benzylbutylamine
RL: RCT (Reactant)
(amidation by, of isovaleryl chloride)

IT 108-12-3, Isovaleryl chloride
RL: RCT (Reactant)
(amidation of, with benzylbutylamine)

IT 79-04-9, Chloroacetyl chloride
RL: RCT (Reactant)
(amidation of, with diaminodibenzothiophene dioxide)

IT 98-88-4, Benzoyl chloride 5271-67-0, 2-Thiophenecarbonyl chloride 39098-97-0, 2-Thiopheneacetyl chloride
RL: RCT (Reactant)
(amidation of, with diethylamine)

IT 5006-22-4, Cyclobutanecarbonyl chloride
RL: RCT (Reactant)
(amidation of, with thiomorpholine)

IT 1199-51-5P 1696-17-9P 6259-19-4P 14313-93-0P 23863-19-6P 45467-31-0P 51762-59-5P 58920-49-3P 93618-98-5P 95200-70-7P
**127330-18-1P 127330-19-2P 127330-20-5P**
**127330-21-6P 127330-24-9P 127330-25-0P**
**127330-26-1P 127330-27-2P 127330-29-4P**
**127330-31-8P 127330-34-1P 127330-41-0P**
**127330-50-1P 127343-46-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of antitumor and immunostimulant agents)

IT **127330-16-9P 127330-22-7P 127330-23-8P**
**127330-28-3P 127330-30-7P 127330-32-9P**
**127330-33-0P 127330-35-2P 127330-36-3P**
**127330-37-4P 127330-38-5P 127330-39-6P**
**127330-40-9P 127330-42-1P 127330-43-2P**

**127330-44-3P 127330-45-4P 127330-46-5P**
**127330-47-6P 127330-48-7P 127330-49-8P**
**127330-51-2P 127330-52-3P 127330-53-4P**
**127330-54-5P 127330-55-6P 127330-56-7P**
**127330-57-8P 127330-58-9P 127330-59-0P**
**127330-60-3P 127330-61-4P 127330-62-5P**
**127330-63-6P 127330-64-7P 127330-65-8P**
**127343-47-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antitumor and immunostimulant)

IT 122-51-0 685-91-6 758-96-3 872-50-4, 1-Methyl-2-pyrrolidinone, reactions 1016-05-3, Dibenzothiophene sulfone 1114-51-8, Diethylpropionamide 1696-20-4, N-Acetylmorpholine 4637-24-5 7428-91-3, 2-Aminodibenzothiophene 10215-25-5, 3,6-Thioxanthenediamine 10,10-dioxide 95200-70-7 **127330-66-9**
RL: RCT (Reactant)
(reaction of, in prepn. of antitumor and immunostimulant agents)

=>

L3 ANSWER 1 OF 1 USPATFULL
ACCESSION NUMBER: 90:81811 USPATFULL
TITLE: Substituted dibenzothiophenes
INVENTOR(S): Nair, Vijay G., Nanuet, NY, United States
Conrow, Ramson B., Pearl River, NY, United States
Wang, Bosco S., Cranbury, NY, United States
Ruszala-Mallon, V. M., New City, NY, United States
PATENT ASSIGNEE(S): American Cyanamid Company, Wayne, NJ, United States (U.S. corporation)

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 4965284 | | 19901023 | <-- |
| APPLICATION INFO.: | US 1989-341862 | | 19890425 | (7) |

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1988-196166, filed on 19 May 1988, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ford, John M.
ASSISTANT EXAMINER: Scalzo, Catherine
LEGAL REPRESENTATIVE: Dow, Kenneth J.
NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 1219
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This disclosure described novel derivatives of dibenzothiophene, dibenzothiophene sulfoxide, dibenzothiophene sulfone, thioxanthene, thioxanthene sulfoxide and thioxanthene sulfone which are active as modulators of the mammalian immune response system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT Immunostimulants
IT Neoplasm inhibitors
(substituted dibenzothiophenes)
IT 132-65-0, Dibenzothiophene 180-44-9, 3-Azaspiro[5.5]undecane
283-24-9, 3-Azabicyclo[3.2.2]nonane 503-29-7, Azetidine
(acetylation of)
IT 123-90-0, Thiomorpholine
(amidation by, of cyclobutanecarbonyl chloride)
IT 2403-22-7, N-Benzylbutylamine
(amidation by, of isovaleryl chloride)
IT 108-12-3, Isovaleryl chloride
(amidation of, with benzylbutylamine)
IT 79-04-9, Chloroacetyl chloride
(amidation of, with diaminodibenzothiophene dioxide)
IT 98-88-4, Benzoyl chloride 5271-67-0, 2-Thiophenecarbonyl chloride
39098-97-0, 2-Thiopheneacetyl chloride
(amidation of, with diethylamine)
IT 5006-22-4, Cyclobutanecarbonyl chloride
(amidation of, with thiomorpholine)
IT 1199-51-5P 1696-17-9P 6259-19-4P 14313-93-0P 23863-19-6P
45467-31-0P 51762-59-5P 58920-49-3P 93618-98-5P 95200-70-7P
127330-18-1P 127330-19-2P 127330-20-5P 127330-21-6P 127330-24-9P
127330-25-0P 127330-26-1P 127330-27-2P 127330-29-4P 127330-31-8P
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(prepn. of, as antitumor and immunostimulant)

IT 122-51-0 685-91-6 758-96-3 872-50-4, 1-Methyl-2-pyrrolidinone, reactions 1016-05-3, Dibenzothiophene sulfone 1114-51-8, Diethylpropionamide 1696-20-4, N-Acetylmorpholine 4637-24-5 7428-91-3, 2-Aminodibenzothiophene 10215-25-5, 3,6-Thioxanthenediamine 10,10-dioxide 95200-70-7 127330-66-9
(reaction of, in prepn. of antitumor and immunostimulant agents)

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L6 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:210715 CAPLUS
DOCUMENT NUMBER: 112:210715
TITLE: Generation of tumoricidal effector **cells** with a novel potentiator: N-[4-[(4-fluorophenyl)sulfonyl]phenyl]acetamide (CL 259,763)
AUTHOR(S): Wang, Bosco Shang; Lumanglas, Araceli L.; Lin, Yang I.; Durr, Frederick E.
CORPORATE SOURCE: Med. Res. Div., Am. Cyanamid Co., Pearl River, NY, 10965, USA
SOURCE: International Journal of Immunopharmacology (1990), 12(3), 307-14
CODEN: IJIMDS; ISSN: 0192-0561
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effects of the title immunopotentiator on the generation of tumoricidal effector **cells** were studied. A single oral dose of the compd. (100-600 mg/kg) induced in mice a population of peritoneal macrophages capable of inhibiting the growth of tumor **cells**. These activated macrophages released proteases which seemed responsible for the tumor **cell** inhibition, because the cytostatic activity was abrogated in the presence of protease inhibitors, on the other hand, addn. of catalase and arginine to the culture failed to alter the effect, suggesting that H2O2 and arginase did not participate in this system. Although induction of cytolytic T-lymphocytes (CTL) reactive with syngeneic tumor **cells** was achievable in mice previously sensitized to the tumor, treatment with CL 259,763 rendered these animals even more response to tumor antigens, resulting in enhancement of tumor **cell** destruction. The compd. was effective in augmenting the CTL response over a rather broad dose range of 25-200 mg/kg. In contrast to these stimulatory effects, the cytolytic activity of natural killer **cells** seemed to be affected by the compd. Thus, CL 259,763 is an orally active immunomodulator capable of inducing tumor-inhibitory macrophages and potentiating CTL responses to syngeneic tumor **cells**; therefore, it may prove clin. useful in the treatment of neoplastic diseases.

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## ARTICLES

# Restoration of cytolytic T-lymphocyte response with a new immunopotentiator, N-(4-[(4-fluorophenyl)sulfonyl]phenyl)acetamide (CL 259, 763), in mice

**BS Wang, VM Ruszala-Mallon, AL Lumanglas, J Silva and FE Durr**

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The immunorestorative characteristics of a novel synthetic immunomodulator, N-(4-[(4-fluorophenyl)sulfonyl]phenyl)acetamide (CL 259, 763), has been investigated in several experimental models. In one situation, the compound was shown to enhance the induction of a cytolytic T-lymphocyte response to the murine MBL-2 leukemia implanted in its syngeneic host in which only a minimal reactivity to the tumor is normally displayed. In a Vaccinia virus model, the compound similarly augmented the lytic activity of cytolytic T-lymphocyte to virus-infected targets in not only viral antigen-primed but also cyclosporin A-impaired mice. Likewise, the alloreactive cytolytic T-lymphocyte activity was recovered in animals immunocompromised by inoculation with murine plasmacytomas or cytoreductive anticancer drugs, such as cyclophosphamide and 5-fluorouracil. Thus, the present findings suggest that CL 259,763 is effective in potentiating the immune response to weak antigens as well as in restoring alloreactivity by sparing the immunotoxicity associated with the administration of cytotoxic drugs and the growth of neoplasms.

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